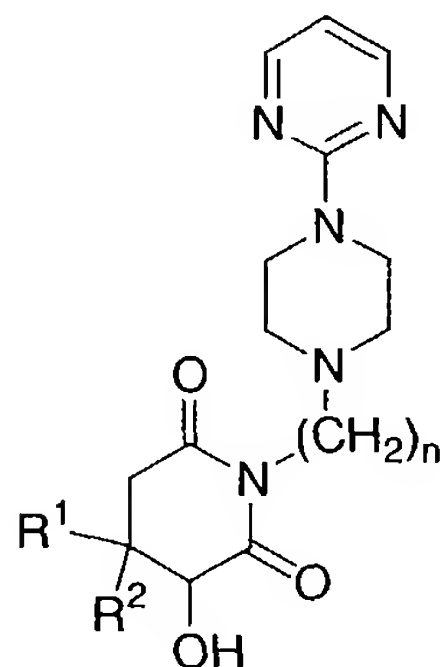


**CLAIMS**

We claim:

1. A process for preparing hydroxyazapirones of Formula I,



I

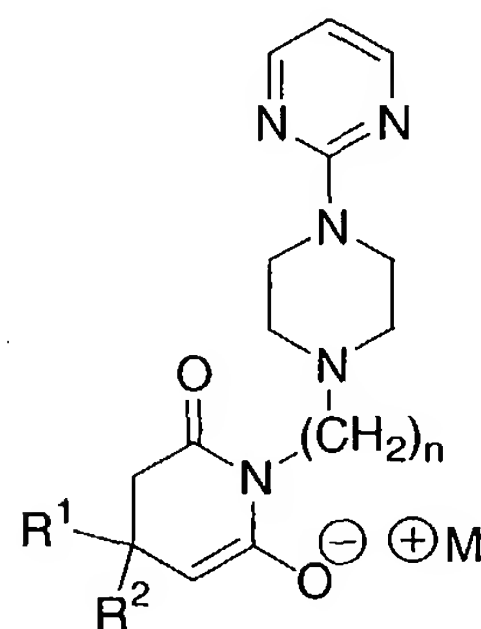
5 wherein

$R^1$  and  $R^2$  are independently hydrogen,  $C_{1-6}$ alkyl, or

$R^1$  and  $R^2$  taken together are  $-CH_2(CH_2)_{0-5}CH_2-$ , and

$n$  is an integer from 2 to 5,

comprising reacting a compound of Formula III



III

10 wherein  $M^{\oplus}$  is an alkali or alkaline earth metal cation

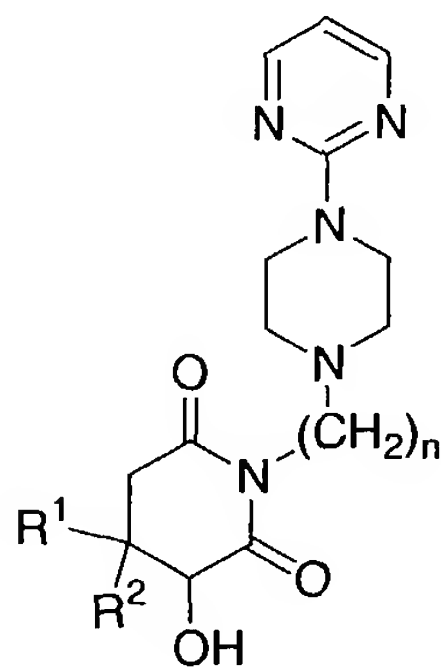
with molecular oxygen in the presence of a reductant to provide a Formula I product.

2. The process of claim 1 wherein the source of molecular oxygen is air or  
15 oxygen gas.

3. The process of claim 1 wherein the reductant is selected from the group consisting of triarylphosphites, trialkyl- and triaryl phosphines, thiourea, sodium

borohydride, copper (II) chloride with iron (II) sulfate, iron (III) chloride, titanium isopropoxide, dimethyl sulfide, diethyldisulfide, sodium sulfite, sodium thiosulfate, zinc and acetic acid, and 1-propene.

- 5    4.    The process of claim 1 wherein the reductant is tri(C<sub>1-8</sub>)alkylphosphite.
5.    The process of claim 4 wherein the reductant is triethyl phosphite.
6.    The process of claim 1 where R<sup>1</sup> and R<sup>2</sup> are independently selected from  
10    hydrogen and C<sub>1-6</sub>alkyl.
7.    The process of claim 6 where R<sup>1</sup> and R<sup>2</sup> are methyl and n is 4.
8.    The process of claim 1 where R<sup>1</sup> and R<sup>2</sup> taken together are -CH<sub>2</sub>(CH<sub>2</sub>)<sub>0-5</sub>CH<sub>2</sub>-.  
15
9.    The process of claim 8 where R<sup>1</sup> and R<sup>2</sup> taken together are  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and n is 4.
10.    A process for preparing hydroxyazapirones of Formula I,



I

20

wherein

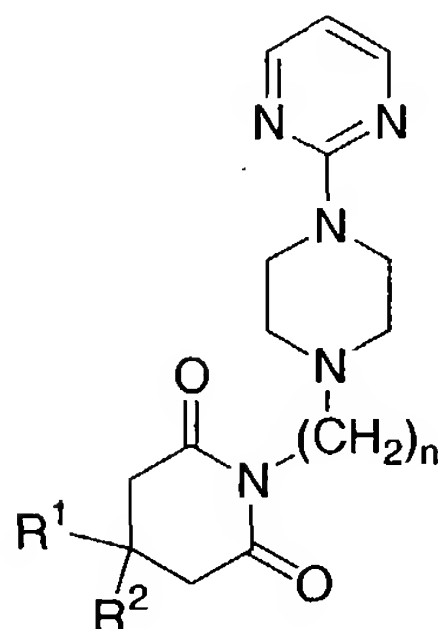
R<sup>1</sup> and R<sup>2</sup> are independently hydrogen, C<sub>1-6</sub>alkyl, or where

R<sup>1</sup> and R<sup>2</sup> taken together are -CH<sub>2</sub>(CH<sub>2</sub>)<sub>0-5</sub>CH<sub>2</sub>-, and

n is an integer from 2 to 5,

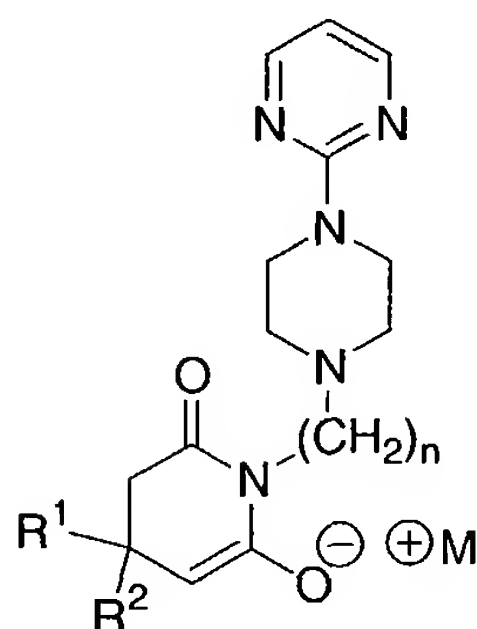
25    comprising

(a) reacting an azapirone compound of Formula II



II

with a strong base to form an intermediate imide enolate anion of Formula III



III

5

(b) reacting the imide enolate III with molecular oxygen in the presence of a reductant.

11. The process of claim 10 wherein the source of molecular oxygen is air or  
10 oxygen gas.
12. The process of claim 10 wherein the strong base is selected from the group  
consisting of lithium bis(trimethylsilyl)amide, sodium bis(trimethylsilyl)amide,  
potassium bis(trimethylsilyl)amide, lithium dialkylamide, sodium dialkylamide,  
15 potassium dialkylamide, sodamide, lithium alkoxide, sodium alkoxide, potassium  
alkoxide, lithium hydride, sodium hydride, and potassium hydride.
13. The process of claim 12 wherein the base is sodium bis(trimethylsilyl)amide.

14. The process of claim 10 wherein the imide enolate anion III formation is maximized by the use of spectroscopy to monitor conversion of II to III.
- 5 15. The process of claim 14 wherein IR spectroscopy is used to monitor conversion of II to III.
- 10 16. The process of claim 10 wherein the reductant is selected from the group consisting of triarylphosphites, trialkyl- and triaryl phosphines, thiourea, sodium borohydride, copper (II) chloride with iron (II) sulfate, iron (III) chloride, titanium isopropoxide, dimethyl sulfide, diethyldisulfide, sodium sulfite, sodium thiosulfate, zinc and acetic acid, and 1-propene.
- 15 17. The process of claim 10 wherein the reductant is tri(C<sub>1-8</sub>)alkylphosphite.
18. The process of claim 17 wherein the reductant is triethyl phosphite.
19. The process of claim 1 where R<sup>1</sup> and R<sup>2</sup> are independently selected from hydrogen and C<sub>1-6</sub>alkyl.
- 20 20. The process of claim 19 where R<sup>1</sup> and R<sup>2</sup> are methyl and n is 4.
21. The process of claim 10 where R<sup>1</sup> and R<sup>2</sup> taken together are -CH<sub>2</sub>(CH<sub>2</sub>)<sub>0-5</sub>CH<sub>2</sub>-.  
25
22. The process of claim 21 where R<sup>1</sup> and R<sup>2</sup> taken together are -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and n is 4.
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